

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY


(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 10 MAY 2006

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Applicant's or agent's file reference PC23022A	FOR FURTHER ACTION	See Form PCT/PEA/416
International application No. PCT/IB2005/000020	International filing date (day/month/year) 06.01.2005	Priority date (day/month/year) 30.01.2004
International Patent Classification (IPC) or national classification and IPC INV. A61K47/40 A61K31/439 A61P41/00 A61P39/00		
Applicant PFIZER PRODUCTS INC. et al.		
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 7 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau) a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>		
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>		
Date of submission of the demand 02.02.2005	Date of completion of this report 09.05.2006	
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Loher, F Telephone No. +49 89 2399-7839	



**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/IB2005/000020

Box No. I Basis of the report

1. With regard to the **language**, this report is based on
- ☒ the international application in the language in which it was filed
 - ☐ a translation of the international application into , which is the language of a translation furnished for the purposes of:
 - ☐ international search (under Rules 12.3(a) and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4(a))
 - ☐ international preliminary examination (under Rules 55.2(a) and/or 55.3(a))
2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

Description, Pages

1-28 as originally filed

Claims, Numbers

1-10 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT
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Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 10 (IA)

because:

☒ the said international application, or the said claims Nos. 10 (IA) relate to the following subject matter which does not require an international preliminary examination (*specify*):

see separate sheet

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed (*specify*).

☐ no international search report has been established for the said claims Nos.

☐ a meaningful opinion could not be formed without the sequence listing; the applicant did not, within the prescribed time limit:

☐ furnish a sequence listing on paper complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Preliminary Examining Authority in a form and manner acceptable to it.

☐ furnish a sequence listing in electronic form complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Preliminary Examining Authority in a form and manner acceptable to it.

☐ pay the required late furnishing fee for the furnishing of a sequence listing in response to an invitation under Rules 13ter.1(a) or (b) and 13ter.2.

☐ a meaningful opinion could not be formed without the tables related to the sequence listings; the applicant did not, within the prescribed time limit, furnish such tables in electronic form complying with the technical requirements provided for in Annex C-bis of the Administrative Instructions, and such tables were not available to the International Preliminary Examining Authority in a form and manner acceptable to it.

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in electronic form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions.

☐ See separate sheet for further details

**INTERNATIONAL PRELIMINARY REPORT
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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	3,4
	No: Claims	1,2,5-10
Inventive step (IS)	Yes: Claims	3,4
	No: Claims	1,2,5-10
Industrial applicability (IA)	Yes: Claims	1-9
	No: Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claim 10 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of this claim (Article 34(4)(a)(I) PCT).

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

Reference is made to the following documents:

- D1: BERNSTEIN P R ET AL: "Discovery of novel, orally active dual NK1/NK2 antagonists" BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS 22 OCT 2001 UNITED KINGDOM, vol. 11, no. 20, 22 October 2001 (2001-10-22), pages 2769-2773, XP002322876 ISSN: 0960-894X
- D2: NAKATE T ET AL: "Improvement of pulmonary absorption of cyclopeptide FK224 in rats by co-formulating with [beta]-cyclodextrin" EUROPEAN JOURNAL OF PHARMACEUTICS AND BIOPHARMACEUTICS 2003 NETHERLANDS, vol. 55, no. 2, 2003, pages 147-154, XP002322877 ISSN: 0939-6411
- D3: US-B1-6 642 233 (DUCOUX JEAN-PHILIPPE ET AL) 4 November 2003 (2003-11-04)
- D4: WO 00/73304 A (PFIZER PRODUCTS INC; CASTALDI, MICHAEL, JAMES; QUALLICH, GEORGE, JOSEP) 7 December 2000 (2000-12-07)

If not mentioned otherwise, the relevant passages are those mentioned in the International Search Report.

Art 33(2) The present application does not meet the requirements of Article 33(2) PCT, since the subject-matter of claims 1, 2 and 5-10 is not new.

D1 discloses a pharmaceutical composition for injection comprising a NK-1 antagonist (ZD6021) and hydroxypropyl beta cyclodextrin. This means that all structural features as defined by present claims 1, 2 and 5-10 are disclosed in D1. Consequently, the allegedly qualifying feature "improved injection site toleration" of present claim 1 is considered to be implicitly disclosed in D1 as well. Therefore, the subject-matter of claims 1, 2 and 5-10 is not new in the light of D1.

Art 33(3) The present application does not meet the requirements of Article 33(3) PCT, since the subject-matter of claims 1, 2 and 5-10 does not seem to involve an inventive step.

D1, which is considered to represent the most relevant state of the art, discloses the subject-matter of present claims 1, 2 and 5-10.

The problem to be solved by the present invention may therefore be regarded as how to provide an improved medicament comprising a NK-1 antagonist.

The present application suggests to solve the problem posed by providing a combination of a NK-1 antagonist and cyclodextrin.

Taking into account the teaching of the cited prior art the following reasoning applies:

With respect to the subject-matter of claims 1, 2 and 5-10 the applicant's attention is drawn to the fact that even if novelty could be established over the above-cited prior art it is at present not clear wherein an inventive step may reside.

With respect to present claims 3 and 4 it is noted that there is no hint in the prior art to overcome irritability of the injection site associated with administration of a compound as described by present formula (I). The present application demonstrates that combination of such a compound with a cyclodextrin results in improved injection site tolerance.

Therefore, the solution proposed by claims 3 and 4 of the present application is

considered to be inventive in the sense of Article 33(3) PCT.

Art 33(4) For the assessment of the present claim 10 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

The subject-matter of claims 1-9 is considered to be industrially applicable in the sense of Art 33(4) PCT.